

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT
(PCT Article 36 and Rule 70)

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| Applicant's or agent's file reference C-25 | FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416) | |
| International application No. PCT/EP 03/03635 | International filing date (day/month/year) 08.04.2003 | Priority date (day/month/year) 08.04.2002 |
| International Patent Classification (IPC) or both national classification and IPC C07K5/078 | | |
| Applicant J. URIACH & CIA. S.A. et al | | |

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| <p>1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 5 sheets, including this cover sheet.</p> <p><input type="checkbox"/> This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).</p> <p>These annexes consist of a total of sheets.</p> |
| <p>3. This report contains indications relating to the following items:</p> <ul style="list-style-type: none"> I <input checked="" type="checkbox"/> Basis of the opinion II <input type="checkbox"/> Priority III <input checked="" type="checkbox"/> Non-establishment of opinion with regard to novelty, inventive step and industrial applicability IV <input type="checkbox"/> Lack of unity of invention V <input checked="" type="checkbox"/> Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement VI <input type="checkbox"/> Certain documents cited VII <input type="checkbox"/> Certain defects in the international application VIII <input type="checkbox"/> Certain observations on the international application |

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| Date of submission of the demand 06.11.2003 | Date of completion of this report 02.04.2004 |
| Name and mailing address of the international preliminary examining authority:  European Patent Office - P.B. 5818 Patentlaan 2 NL-2280 HV Rijswijk - P.O. Box Tel. +31 70 340 - 2040 Tx: 31 651 epo nl Fax: +31 70 340 - 3016 | Authorized Officer Seitner, I Telephone No. +31 70 340-2389  |

INTERNATIONAL PRELIMINARY
EXAMINATION REPORT

International application No. PCT/EP 03/03635

I. Basis of the report

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

Description, Pages

1-125 as originally filed

Claims, Numbers

1-37 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
- the language of publication of the international application (under Rule 48.3(b)).
- the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- contained in the international application in written form.
- filed together with the international application in computer readable form.
- furnished subsequently to this Authority in written form.
- furnished subsequently to this Authority in computer readable form.
- The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- the description, pages:
- the claims, Nos.:
- the drawings, sheets:

5. This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

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III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:
 - the entire international application,
 - claims Nos. 1-37 (partially)
because:
 - the said international application, or the said claims Nos. relate to the following subject matter which does not require an international preliminary examination (specify):
 - the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):
 - the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.
 - no international search report has been established for the said claims Nos. 1-37 (partially)
2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:
 - the written form has not been furnished or does not comply with the Standard.
 - the computer readable form has not been furnished or does not comply with the Standard.

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

| | | |
|-------------------------------|-------------|------|
| Novelty (N) | Yes: Claims | 1-37 |
| | No: Claims | |
| Inventive step (IS) | Yes: Claims | 1-37 |
| | No: Claims | |
| Industrial applicability (IA) | Yes: Claims | 1-37 |
| | No: Claims | |

2. Citations and explanations

see separate sheet

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Re Item III

Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

Claims 1-37 do not meet the requirements of Article 6 PCT in that the matter for which protection is sought is not well defined. The expression "prodrug" given in claims 1, 23, 25, 28, 33, 35, and 36 is an attempt to define the subject-matter in terms of the result to be achieved. Therefore, no international search report has been established with regard to this expression.

Re Item V

Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

Reference is made to the following document:

D1: WO 01 12186 A (BIOGEN INC ;CORNEBISE MARK (US); LEE WEN CHERNG (US); PETTER RUSSE) 22 February 2001 (2001-02-22)

Document D1, which is considered to represent the most relevant state of the art, discloses (cf. examples 6697, 6715, 7515, 7517 as well as page 43, lines 9-18 and page 70, lines 17-24) compounds with antiinflammatory, antiallergic, and immunosuppressive activity which differ mainly from the compounds of the present application in the linking group L between cyclic group A and group B. In D1, L represents -CO-, -O-CO-, -SO₂- and -PO₂-, whereas in the present formula I, L represents -(CR⁹R⁹)_n-.

Therefore, the subject-matter of the present application is considered **novel** over the prior art (**Article 33(2) PCT**).

The problem to be solved by the present invention may be regarded as the provision of further antiinflammatory compounds.

The solution proposed in present claim 1 of the present application is considered as involving an **inventive step (Article 33(3) PCT)** because the skilled person had no

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incentive to make the specific selection from the very general formula of D1 and to further modify the substituent Y⁵.

The presently claimed compounds are useful for the treatment of inflammatory diseases and consequently, the present application is considered as **industrially applicable (Article 33(4) PCT)**.